Docket No.: 05412/100E887-US2

Application No.: 09/890,006

AMENDMENTS TO THE CLAIMS

2

The following listing of claims replaces all prior versions, and listings of claims in this application.

1. (Currently Amended) A compound having the general formula I:

wherein the LINKER is one or more of the groups selected from the group consisting of (i) substituted or unsubstituted alkyl, (ii) substituted or unsubstituted alkenyl, (iii) substituted or unsubstituted alkenyl, (iii) substituted or unsubstituted alkenyl wherein the double bond is cis, and (v) (iii) (ortho or para) carbonyl-substituted aryl; and

wherein the subtituent is each an independent group or linked together thereby forming a ring; and

wherein X is one or more substituted or unsubstituted group containing one or more O, N, or S atom and

wherein the substituent is each an independent group or linked together thereby forming a ring; and

wherein the therapeutic agent is selected from the group consisting of alcohol-containing water-insoluble steroids, anesthetics and sedatives,

and wherein said therapeutic agent is attached to X via an alcohol functional group.

Docket No.: 05412/100E887-US2

Application No.: 09/890,006

3

- 2. (Currently Amended) A compound according to claim 1, wherein
 - (i) said alkyl has the formula CR₁R₂,
 - (ii) said alkenyl has the formula CR₁=CR₃-CR₄;
 - (iii) (i) said alkanoyl has the formula CR₁R₂-CR₃R₄-CR₅R₆-CO,
- (iv) (ii) said alkenoyl has the formula CR_1R_2 - CR_3 = CR_4 -CO and wherein the double bond is cis, and
 - (v) (iii) said substituted aryl has the formula aryl- CR_1R_2 ; and

wherein R_1 R_2 , R_3 , R_4 , [[R.]] \underline{R}_5 , and R_6 are the same or different and are selected from the group consisting of

- (i) hydrogen;
- (ii) linear, branched, and unsaturated C₁₋₁₂-alkyl;
- (iii) substituted C_{1-8} -alkyl, wherein the substituent is selected from the group consisting of Yl-Y24, wherein

Y1 is hydroxy,

Y2 is C_{1-8} -alkoxy,

Y3 is carbo- C_{1-8} -alkoxy,

Y4 is C_{1-8} - alkylamino,

Y5 is di- C_{1-8} -alkylamino,

Y6 is C_{6-12} -arylamino,

4

Docket No.: 05412/100E887-US2

Application No.: 09/890,006

Y7 is C_{6-12} - aryloxy,

Y8 is amino,

Y9 is amino-C₂-C₈-alkoxy,

Y10 is C_{l-8} -alkylthio,

Y11 is C_{6-12} -arylthio,

Y12 is acetamido,

Y13 is mercapto,

Y14 is benzamido,

Y15 is carboxamido,

Y16 is phthalimido,

Y17 is guanidino,

Y18 is ureido,

Y19 is isothioureido,

Y20 is carboxy,

Y21 is (C_{6-12}) aryl- (C_{1-8}) alkyl,

Y22 is (C_{6-12}) aryl- (C_{2-8}) , alkenyl,

Y23 is aromatic heterocyclo (C₁₋₈) alkyl,

and Y24 is aromatic heterocyclo (C2-8)alkenyl wherein

Docket No.: 05412/100E887-US2

Application No.: 09/890,006

5

the heterocyclic group of Y23 and Y24 have 5 - 10 ring atoms and comprises up to two O, N, or S heteroatoms; and

(iv) substituted Y21 or substituted Y23 wherein the substituent is selected from the group consisting of Y1, Y2, Y4, Y5, Y7, Y8, Y12, Y14, Y17-Y20, and Y25-Y29 wherein

Y25 is halogen,

Y26 is C_{1-8} -alkyl,

Y27 is amino- C_{1-8} -alkyl,

Y28 is C_{6-12} -aroyl, and

Y29 is C_{1-8} -alkanoyl.

- 3. (Original) A compound according to claim 2, wherein said R_1 and R_2 ; R_1 and R_3 ; R_2 and R_3 ; R_3 and R_4 ; R_3 and R_5 ; and R_5 and R_6 are linked together thereby forming:
 - (i) a ring of three to six carbon atoms, or
- (ii) a ring of two to five carbon atoms and one O, or S heteroatom, or substituted heteroatom NR₇; wherein R₇, is selected from the group consisting of Y21, Y26, Y28, Y29, and Y30-Y31, wherein Y30 is C₃₋₈-alkenyl, and

Y31 is
$$C_{6-12}$$
-aryl.

- 4-7. (Canceled)
- 8. (Original) A compound according to claim 2, wherein said (*ortho* or *para*) carbonyl-substituted aryl is selected from the group consisting of *ortho*-CR₁R₂-substituted aryl-CO, substituted aryl-*ortho*-CR₃R₄-CO, substituted aryl-*ortho*-CR₃R₄-CO, substituted aryl-

Application No.: 09/890,006 6 Docket No.: 05412/100E887-US2

ortho-CR₃=R₄-CO wherein the double bond is *cis*, *ortho*-CR₁R₂-substituted aryl-CR₅R₆-CO, and substituted aryl-(*ortho or para*)-CO.

- 9. (Original) A compound according to claim 2, wherein said aryl is selected from the group consisting of benzene, naphthalene, pyridine, pyrrole, thiophene, furan, imidazole, thiazole, oxazole, pyrimidine, indole, benzimidazole, benzthiazole, benzofuran, benzothiophene and quinoline, each bearing one or more of the group consisting of hydrogen, C₁₋₈,-alkyl, C₁₋₈-alkoxy, F, C1, Br, C₁₋₈-alkoxycarbonyl, amino, substituted amino, nitro, C₁₋₈-alkylthio, C₁₋₈,-alkylsulfoxido, and C₁₋₈-alkylsulfono.
- 10. (Original) A compound according to claim 2, wherein R₁ is hydrogen.
- 11. (Original) A compound according to claim 2, wherein R₁ and R₂ are hydrogen.
- 12. (Previously Presented) A compound according to claim 1, wherein the therapeutic agent is an anesthetic compound or a sedative compound.
- 13. (Original) A compound according to claim 1, wherein said water-insoluble steroids are selected from the group consisting of (i) testosterone, (ii) cardiotonic steroids selected from the group consisting of digitoxigenin, digoxigenin and ouabugenin, (iii) dehydroepiandrosterone (DHEA), (iv) etiocholanolone, (v) pregnenolone, (vi) estradiol, (vii) estrone, (viii) dexamethasone and (ix) hydrocortisone.
- 14. (Previously Presented) A composition comprising a compound of claim 1 and a pharmaceutically-acceptable carrier.
- 15. (Previously Presented) A compound according to claim 1 incorporated into tablets, capsules or elixirs for oral administration; suppositories for rectal administration; sterile solutions or suspensions for injectable administration; or sterile solutions for ocular or internasal administration.

Application No.: 09/890,006 7 Docket No.: 05412/100E887-US2

- 16. (Canceled).
- 17. (Original) A compound having the general formula I:

wherein the LINKER is a substituted alkanoyl of formula CR₁R₂-CR₃R₄-CR₅R₆-CO, wherein R₁, R₂, R₃, R₄, R₅, and R₆ are H, and

wherein X is 0 and

wherein the therapeutic agent is 2',6'-diisopropyl phenol.

- 18. (Currently Amended) A method enabling potential therapeutic agents to be rendered soluble comprising the steps of inserting one or more linker moieties having one or more primary alcohol group between a phosphocholine or a phosphocholine congener and the therapeutic agents, wherein the therapeutic agents are water-insoluble steroids, anesthetic or sedatives, and the linker moieties are selected from (i) substituted or unsubstituted alkanoyls, (ii) substituted or unsubstituted alkanoyls wherein the double bond is cis, and (iii) (ortho or para) carbonyl-substituted aryls.
- 19. (Previously Presented) A method for increasing the bioavailability of a water-insoluble steroid, anesthetic or sedative pharmaceutical agent comprising the steps of derivatizing the agent with one or more linker moieties, producing an intermediate, recovering and coupling the intermediate with phosphocholine or a phosphocholine-congener to the linkers, producing a final derivative and administering the final derivative to a mammal, wherein the agent in derivative form is significantly more soluble in aqueous media than the agent in non-derivatized form, and the linker moieties are selected from (i) substituted or unsubstituted alkanoyls, (ii) substituted or

unsubstituted alkenoyls wherein the double bond is cis, and (iii) (ortho or para) carbonyl-substituted aryls.

- 20. (Original) The method of claim 19 wherein the pharmaceutical agent is propofol.
- 21. (Canceled)
- 22. (Previously Presented) The compound according to claim 12, wherein the anesthetic compound is propofol.
- 23. (Previously Presented) The composition according to claim 13, wherein the pharmaceutically-acceptable carrier comprises one or more binder, filter, salt, buffer, preservative, antioxidant, disintegrating agent, lubricant or sweetening agent.
- 24. (Currently Amended) The formulation of claim 21, wherein the physiologically acceptable carrier comprises one or more binder, preservative, stabilizers stabilizer or flavor.
- 25. (New) A compound having the general formula I:

wherein the LINKER is a substituted <u>alkenoyl</u> of formula CR_1R_2 - CR_3 = CR_4 -CO, wherein R_1 , R_2 , R_3 , and R_4 , are hydrogen, and

wherein X is 0 and

wherein the therapeutic agent is 2',6'-diisopropyl phenol.

Application No.: 09/890,006

9

26 (New): A compound having the general formula I:

wherein the LINKER is of the formula aryl-ortho- CR_3R_4 - CR_5R_6 -CO, wherein R_3 , R_4 , R_5 , and R_6 , are hydrogen, and

wherein X is 0 and

wherein the therapeutic agent is 2',6'-diisopropyl phenol.